

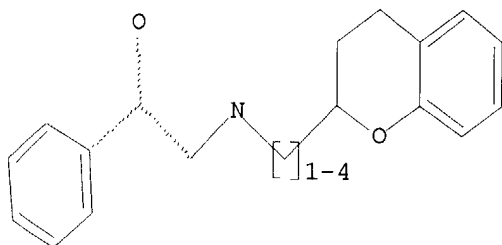
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L1 STRUCTURE UPLOADED

=> d

L1 HAS NO ANSWERS

L1 STR



G1 X,NO2,SO2,H,Ak

G2 O,S,N,C

Structure attributes must be viewed using STN Express query preparation.

=> s l1 full

FULL SEARCH INITIATED 18:09:45 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 1888 TO ITERATE

100.0% PROCESSED 1888 ITERATIONS

45 ANSWERS

SEARCH TIME: 00.00.01

L2 45 SEA SSS FUL L1

=> file registry

COST IN U.S. DOLLARS

SINCE FILE	TOTAL
ENTRY	SESSION
156.68	156.89

FULL ESTIMATED COST

FILE 'REGISTRY' ENTERED AT 18:09:48 ON 20 AUG 2004

USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.

PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

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Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 18 AUG 2004 HIGHEST RN 728239-10-9

DICTIONARY FILE UPDATES: 18 AUG 2004 HIGHEST RN 728239-10-9

TSCA INFORMATION NOW CURRENT THROUGH MAY 21, 2004

Please note that search-term pricing does apply when conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more information enter HELP PROP at an arrow prompt in the file or refer to the file summary sheet on the web at:

<http://www.cas.org/ONLINE/DBSS/registryss.html>

=> file caplus
COST IN U.S. DOLLARS

SINCE FILE	TOTAL
ENTRY	SESSION
0.42	157.31

FULL ESTIMATED COST

FILE 'CAPLUS' ENTERED AT 18:09:50 ON 20 AUG 2004
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
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FILE COVERS 1907 - 20 Aug 2004 VOL 141 ISS 8
FILE LAST UPDATED: 18 Aug 2004 (20040818/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s 12

L3 7 L2

=> d 13 1-7

L3 ANSWER 1 OF 7 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2002:832787 CAPLUS
DN 137:337786
TI Preparation of chiral alkylaminochroman derivatives as
β3-adrenoreceptor agonists
IN O'Connor, Stephen J.; Ladouceur, Gaetan H.; Bullock, William H.; Campbell, Ann-Marie; Dai, Miao; Dally, Robert; Dumas, Jacques; Hatoum-Mokdad, Holia N.; Khire, Uday; Lee, Wendy; Liu, Qingjie; Lowe, Derek B.; Magnuson, Steven R.; Qi, Ning; Shelekhin, Tatiana E.; Shen, Quanrong; Smith, Roger A.; Wang, Ming
PA Bayer Corporation, USA
SO PCT Int. Appl., 193 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002085891	A1	20021031	WO 2002-US12940	20020422
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			

US 2003078260 A1 20030424 US 2002-131448 20020422
 US 6660752 B2 20031209
 EP 1389202 A1 20040218 EP 2002-723958 20020422
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
 IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
 US 2004072828 A1 20040415 US 2003-666903 20030917
 PRAI US 2001-285719P P 20010423
 US 2001-324518P P 20010926
 US 2002-131448 A1 20020422
 WO 2002-US12940 W 20020422
 OS MARPAT 137:337786
 RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 2 OF 7 CAPLUS COPYRIGHT 2004 ACS on STN
 AN 2002:808431 CAPLUS
 DN 137:310812
 TI Preparation of carboxyalkylchromans as β -3 adrenoreceptor agonists
 IN Connell, Richard D.; Lease, Timothy G.; Baryza, Jeremy
 PA Bayer Corporation, USA
 SO U.S., 17 pp.
 CODEN: USXXAM
 DT Patent
 LA English
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 6469031	B1	20021022	US 1998-216512	19981218
	US 2003013705	A1	20030116	US 2002-225811	20020821
PRAI	US 1997-113659P	P	19971219		
	US 1998-216512	A1	19981218		
OS	MARPAT 137:310812				
RE.CNT	24 THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT				

L3 ANSWER 3 OF 7 CAPLUS COPYRIGHT 2004 ACS on STN
 AN 1999:421678 CAPLUS
 DN 131:58750
 TI Preparation of carboxyl-substituted chroman derivatives useful as beta-3
 adrenoreceptor agonists
 IN Connell, Richard D.; Lease, Timothy G.; Baryza, Jeremy
 PA Bayer Corporation, USA
 SO PCT Int. Appl., 49 pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9932476	A1	19990701	WO 1998-US26735	19981216
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	AU 9918300	A1	19990712	AU 1999-18300	19981216
	AU 749097	B2	20020620		
	EP 1040106	A1	20001004	EP 1998-963240	19981216

EP 1040106 B1 20020828
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO
 BR 9814302 A 20001010 BR 1998-14302 19981216
 TR 200001927 T2 20010621 TR 2000-200001927 19981216
 JP 2001526282 T2 20011218 JP 2000-525413 19981216
 AT 222901 E 20020915 AT 1998-963240 19981216
 NZ 505200 A 20020927 NZ 1998-505200 19981216
 PT 1040106 T 20021129 PT 1998-963240 19981216
 ES 2183434 T3 20030316 ES 1998-963240 19981216
 RU 2223269 C2 20040210 RU 2000-119110 19981216
 NO 2000003083 A 20000815 NO 2000-3083 20000615
 BG 104593 A 20010928 BG 2000-104593 20000711
 PRAI US 1997-994620 A 19971219
 WO 1998-US26735 W 19981216
 OS MARPAT 131:58750

RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 4 OF 7 CAPLUS COPYRIGHT 2004 ACS on STN
 AN 1999:421677 CAPLUS
 DN 131:73558
 TI Preparation of chromansulfonamides as β -3 adrenoreceptor agonists
 IN Ladouceur, Gaetan H.; Connell, Richard D.; Baryza, Jeremy; Campbell, Ann-Marie; Lease, Timothy G.; Cook, James H.
 PA Bayer Corporation, USA
 SO PCT Int. Appl., 95 pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9932475	A1	19990701	WO 1998-US24627	19981117
	W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	ZA 9810489	A	19990520	ZA 1998-10489	19981117
	CA 2314925	AA	19990701	CA 1998-2314925	19981117
	AU 9914183	A1	19990712	AU 1999-14183	19981117
	AU 751015	B2	20020808		
	EP 1054881	A1	20001129	EP 1998-958070	19981117
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
	JP 2001526281	T2	20011218	JP 2000-525412	19981117
	TW 502032	B	20020911	TW 1998-87118968	19981117
	US 6051586	A	20000418	US 1998-199014	19981123
	US 2003073839	A1	20030417	US 2000-520201	20000307
	US 2004072843	A1	20040415	US 2003-667286	20030919
PRAI	US 1997-994585	A	19971219		
	US 1997-122061P	P	19971219		
	WO 1998-US24627	W	19981117		
	US 1998-199014	A3	19981123		
	US 2000-520201	B1	20000307		

OS MARPAT 131:73558

RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 5 OF 7 CAPLUS COPYRIGHT 2004 ACS on STN
 AN 1970:100615 CAPLUS
 DN 72:100615
 TI β -Adrenergic blocking agents. VII. 2-(1,4-Benzodioxanyl) and
 2-chromanyl analogs of pronethalol [2-isopropylamino-1-(2-naphthyl)
 ethanol]
 AU Howe, Ralph; Rao, Balbir S.; Chodnekar, M. S.
 CS Pharm. Div., Imp. Chem. Ind. Ltd., Macclesfield, UK
 SO Journal of Medicinal Chemistry (1970), 13(2), 169-76
 CODEN: JMCMAR; ISSN: 0022-2623
 DT Journal
 LA English

L3 ANSWER 6 OF 7 CAPLUS COPYRIGHT 2004 ACS on STN
 AN 1966:19191 CAPLUS
 DN 64:19191
 OREF 64:3493h,3494a-d
 TI Coumarin derivatives
 PA Etablissements Clin-Byla
 SO 6 pp.
 DT Patent
 LA Unavailable
 FAN.CNT 1

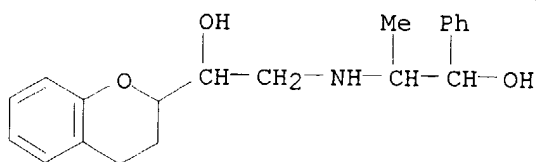
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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PI	GB 1007624		19651013	GB	
PRAI	FR		19640403		

L3 ANSWER 7 OF 7 CAPLUS COPYRIGHT 2004 ACS on STN
 AN 1966:19190 CAPLUS
 DN 64:19190
 OREF 64:3493f-h
 TI Substituted 2-aminoethanols
 PA Imperial Chemical Industries Ltd.
 SO 21 pp.
 DT Patent
 LA Unavailable
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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PI	NL 6500863		19650726	NL	
PRAI	GB		19640124		
	GB		19641221		

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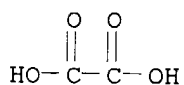
L3 ANSWER 5 OF 7 CAPLUS COPYRIGHT 2004 ACS on STN
 IT **26946-23-6P**
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of)
 RN 26946-23-6 CAPLUS
 CN 2-Chromanmethanol, α -[[β -hydroxy- α -
 methylphenethyl)amino]methyl]-, oxalate (1:1) (8CI) (CA INDEX NAME)
 CM 1
 CRN 4610-24-6
 CMF C20 H25 N O3



CM 2

CRN 144-62-7

CMF C2 H2 O4

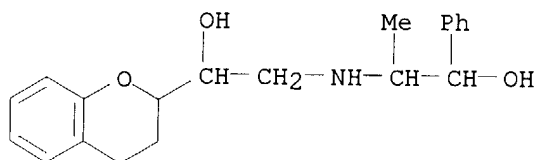


L3 ANSWER 6 OF 7 CAPLUS COPYRIGHT 2004 ACS on STN

IT **4610-24-6**, 2-Chromanmethanol, α -[[[β -hydroxy- α -methylphenethyl)amino]methyl]-
(preparation of)

RN 4610-24-6 CAPLUS

CN 2-Chromanmethanol, α -[[[β -hydroxy- α -methylphenethyl)amino]methyl]- (7CI, 8CI) (CA INDEX NAME)

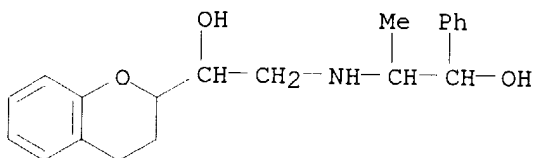


L3 ANSWER 7 OF 7 CAPLUS COPYRIGHT 2004 ACS on STN

IT **4610-24-6**, 2-Chromanmethanol, α -[[[β -hydroxy- α -methylphenethyl)amino]methyl]- **4626-90-8**, 2-Chromanmethanol, α -[[[β -hydroxy- α -methylphenethyl)amino]methyl]-, oxalate
(preparation of)

RN 4610-24-6 CAPLUS

CN 2-Chromanmethanol, α -[[[β -hydroxy- α -methylphenethyl)amino]methyl]- (7CI, 8CI) (CA INDEX NAME)

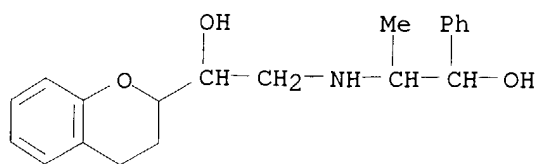


RN 4626-90-8 CAPLUS

CN 2-Chromanmethanol, α -[[[β -hydroxy- α -methylphenethyl)amino]methyl]-, oxalate (8CI) (CA INDEX NAME)

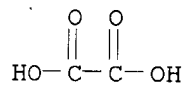
CM 1

CRN 4610-24-6
CMF C20 H25 N O3



CM 2

CRN 144-62-7
CMF C2 H2 O4



Inventor Search

=> E O CONNOR STEPHEN J/AU 25

E1	3	O CONNOR STEPHEN ERIC/AU
E2	1	O CONNOR STEPHEN F/AU
E3	37 -->	O CONNOR STEPHEN J/AU
E4	7	O CONNOR STEPHEN J M/AU
E5	2	O CONNOR STEPHEN JAMES/AU
E6	10	O CONNOR STEPHEN M/AU
E7	16	O CONNOR STEPHEN P/AU
E8	1	O CONNOR STEPHEN PATRICK/AU
E9	7	O CONNOR STEPHEN W/AU
E10	1	O CONNOR STEPHEN WILLIAM/AU
E11	7	O CONNOR STEVE/AU
E12	3	O CONNOR STEVE E/AU
E13	1	O CONNOR STEVEN D/AU
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E16	9	O CONNOR STEVEN P/AU
E17	1	O CONNOR STEVEN PAUL/AU
E18	1	O CONNOR SUE/AU
E19	6	O CONNOR SUSAN/AU
E20	14	O CONNOR SUSAN M/AU
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=> S (E3 OR E4 OR E5) AND (?CHROMAN?)

37 "O CONNOR STEPHEN J"/AU
7 "O CONNOR STEPHEN J M"/AU
2 "O CONNOR STEPHEN JAMES"/AU
9646 ?CHROMAN?

L4 3 ("O CONNOR STEPHEN J"/AU OR "O CONNOR STEPHEN J M"/AU OR "O CONNOR STEPHEN JAMES"/AU) AND (?CHROMAN?)

=> FOCUS L4

PROCESSING COMPLETED FOR L4

L5 3 FOCUS L4 1-

=> DIS L5 1 FHITSTR

L5 ANSWER 1 OF 3 CAPLUS COPYRIGHT 2004 ACS on STN

=> d l4 1-3 ibib abs

L4 ANSWER 1 OF 3 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2002:832787 CAPLUS

DOCUMENT NUMBER: 137:337786

TITLE: Preparation of chiral **alkylaminochroman** derivatives as β 3-adrenoreceptor agonists

INVENTOR(S): **O'Connor, Stephen J.**; Ladouceur, Gaetan H.; Bullock, William H.; Campbell, Ann-Marie; Dai, Miao; Dally, Robert; Dumas, Jacques; Hatoum-Mokdad, Holia N.; Khire, Uday; Lee, Wendy; Liu, Qingjie; Lowe, Derek B.; Magnuson, Steven R.; Qi, Ning; Shelekhin, Tatiana E.; Shen, Quanrong; Smith, Roger A.; Wang, Ming

PATENT ASSIGNEE(S): Bayer Corporation, USA

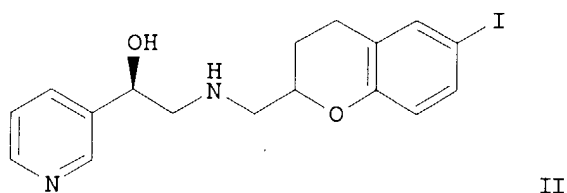
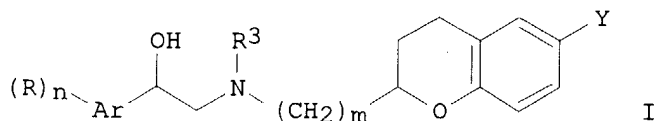
SOURCE: PCT Int. Appl., 193 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002085891	A1	20021031	WO 2002-US12940	20020422
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2003078260	A1	20030424	US 2002-131448	20020422
US 6660752	B2	20031209		
EP 1389202	A1	20040218	EP 2002-723958	20020422
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
US 2004072828	A1	20040415	US 2003-666903	20030917
PRIORITY APPLN. INFO.:				
			US 2001-285719P	P 20010423
			US 2001-324518P	P 20010926
			US 2002-131448	A1 20020422
			WO 2002-US12940	W 20020422
OTHER SOURCE(S): MARPAT 137:337786				
GI				



AB This invention relates to novel 2,6-substituted **chroman** derivs. which are useful in the treatment of β 3-adrenoreceptor mediated conditions. Title compds. I [wherein R = independently OH, :O, halo, CN, NO2, (halo)alkyl, CF3, NR1R1, SR1, OR1, SO2R2, OCOR2, NR1COR2, COR2, NR1SO2R2, or (un)substituted Ph or heterocyclyl; R1 = independently H, (CH2)mO(CH2)mR5, or (un)substituted (cyclo)alkyl, Ph, or naphthyl; or NR1R1 = heterocyclyl; R2 = independently R1, OR1, NR1R1, or (un)substituted NHSO0-2-Ph, NHSO0-2-naphthyl, NHSO0-2-alkyl, or heterocyclyl; R3 = H, alkyl, or COR3; R4 = H, alkyl(phenyl), or alkylpyridyl; R5 = H or CO2H; R6 = H or (un)substituted alkyl or alkyl-SO0-2-alkyl; Ar = Ph or (fused) hetero(aryl); Y = halo, NO2, R6, SR1, SO0-2C6H4CO2R1, (CONR4CR4R4)pCO2R1, or (un)substituted Ph or heterocyclyl; m = 1-3; n = 0-5; p = 1 or 2; and pharmaceutically acceptable salts and esters thereof] were prepared as β 3-adrenoceptor

agonists. For example, coupling of (2R)-6-iodo-3,4-dihydro-2H-chromene-2-carboxylic acid and (1R)-2-amino-1-(3-pyridinyl)ethanol•2HCl with 1-hydroxybenzotriazole, 1-(3-dimethylaminopropyl)-3-ethylcarbodiimide•HCl, and TEA in CH₂Cl₂ gave the amide (74%). Reduction using borane-dimethylsulfide complex in THF afforded the **chromanmethanamine** II (84%). Over one hundred compds. of the invention demonstrated β 3-adrenergic receptor agonist activity with EC₅₀ values \leq 1 μ M. I are useful in the treatment of β 3-adrenergic receptor mediated conditions, including obesity, diabetes, gastrointestinal disorders, cardiovascular disorders, and urinary disorders (no data).

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 2 OF 3 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2002:465994 CAPLUS

DOCUMENT NUMBER: 137:33326

TITLE: Preparation of chiral **alkylaminochroman** derivatives as β 3 adrenoreceptor agonists

INVENTOR(S): Ladouceur, Gaetan H.; Bullock, William H.; Magnuson, Steven R.; **O'Connor, Stephen J.**; Smith, Roger A.; Shen, Quanrong; Liu, Quingjie; Su, Ning; Velthuisen, Emil J.; Campbell, Ann-Marie; Ehrlich, Paul P.

PATENT ASSIGNEE(S): Bayer Corporation, USA

SOURCE: PCT Int. Appl., 139 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

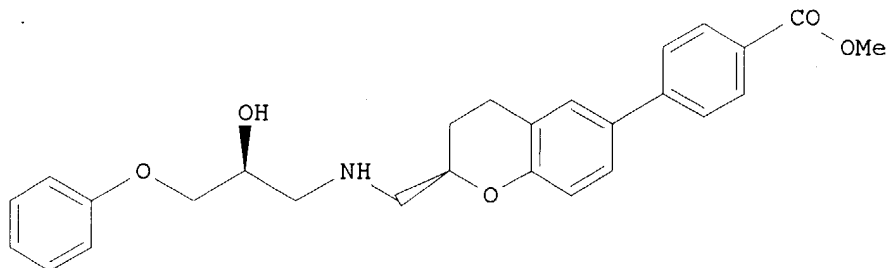
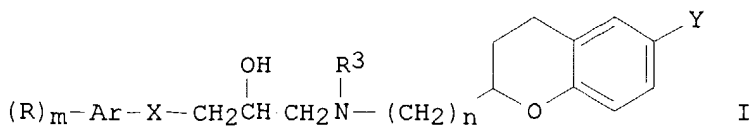
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002048134	A2	20020620	WO 2001-US46623	20011207
WO 2002048134	A3	20030206		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
AU 2002028816	A5	20020624	AU 2002-28816	20011207
US 2003078258	A1	20030424	US 2001-8928	20011207
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EP 1343778	A2	20030917	EP 2001-989934	20011207
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR			
JP 2004524286	T2	20040812	JP 2002-549665	20011207
PRIORITY APPLN. INFO.:			US 2000-254735P	P 20001211
			WO 2001-US46623	W 20011207

OTHER SOURCE(S): MARPAT 137:33326

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AB Title compds. [I; Ar = C₆H₅, heterocycle, benzoheterocycle; Y = halo, OR₁, COOR₁, CH₂CH₂COOH, 4-C₆H₄COOH, 4-C₆H₄COOCH₃, 3-C₆H₄COOH, 2-naphthyl-6-carboxylic acid, etc.; m = 0, 1, 2, 3, 4, 5; n = 1, 2, 3; X = O, S, S:O, SO₂; R = OH, halo, CN, NO₂, CF₃; R₁ = H, (CH₂)_nO(CH₂)_nCOOH, (CH₂)_nO(CH₂)_nH; R₂ = R₁, OR₁, NR₁R₁, alkoxy, halo, NO₂; R₃ = H, alkyl, C₆H₅CH₂, COR₂] are prepared as β₃ adrenergic receptor agonists. Title compds. I are useful in a pharmaceutical composition for the treatment of diabetes, impaired fasting glucose, impaired glucose tolerance, obesity, hypertriglyceridemia, hypercholesterolemia, hypercholesterolemia, lowering high-d. lipoprotein levels, atherosclerosis, cardiovascular diseases and related diseases, gastrointestinal disorders, neuro genetic inflammation, ocular hypertension, glaucoma, urol. disorders, benign prostatic hyperplasia, and, incontinence. Thus, the title compound II was prepared from (2R)-t-iodo-3,4-dihydro-2H-chroman-2-carboxylic acid, Me 4-iodobenzoate, and (2S)-1-amino-3-phenoxy-2-propanol via reduction and condensation. The title compound II was tested for β₃ agonistic activity with EC₅₀ ≤ 1μM.

L4 ANSWER 3 OF 3 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1997:44662 CAPLUS

DOCUMENT NUMBER: 126:59751

TITLE: Preparation of di- and tricarboxybenzamides and analogs as squalene synthetase and protein farnesyltransferase inhibitors

INVENTOR(S): Baker, William R.; Rosenberg, Saul H.; Fung, K. L. Anthony; Rockway, Todd W.; Fakhoury, Stephen A.; Garvey, David S.; Donner, B. Gregory; O'Connor, Stephen J.; Prasad, Rajnandan N.; Shen, Wang; Stout, David M.; Sullivan, Gerard M.

PATENT ASSIGNEE(S): Abbott Laboratories, USA

SOURCE: PCT Int. Appl., 241 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

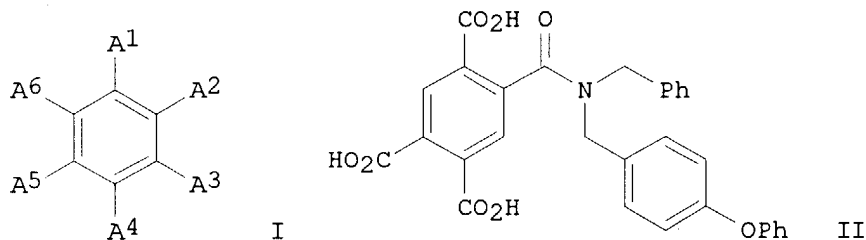
FAMILY ACC. NUM. COUNT: 3

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9634851	A1	19961107	WO 1996-US6193	19960502
W: AU, CA, JP, KR, MX				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
US 5783593	A	19980721	US 1996-633262	19960429
AU 9656731	A1	19961121	AU 1996-56731	19960502
PRIORITY APPLN. INFO.:			US 1995-429095	19950503
			US 1996-633262	19960429
			US 1993-147708	19931104
			US 1994-289711	19940909
			US 1994-322783	19941018
			WO 1996-US6193	19960502

OTHER SOURCE(S): MARPAT 126:59751

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AB Title compds. [e.g., I; A1 = ZCONR1R2; A2, A4, and A5 or A2 and A4 or A3 and A4 = (protected) CO2H and the other An = H; R1 = (chloro)benzyl, (CH2)2-4Ph, CH2C6H4(OPh)-4; R2 = (CH2)1-2C6H4(OPh)-4; Z = bond, NR, O; R = H, (cyclo)alkyl, aralkyl, cycloalkylalkyl] were prepared. Thus, 4-(PhO)C6H4CHO was reductively aminated by H2CH2Ph and the product amidated by 1,2,4,5-benzenetetracarboxylic dianhydride to give title compound II. Data for in vitro inhibition of protein farnesyltransferase by selected I were given.